

10534 291

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NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
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NEWS 7 DEC 21 IPC search and display fields enhanced in CA/CAPLUS with the  
IPC reform  
NEWS 8 DEC 23 New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/  
USPAT2  
NEWS 9 JAN 13 IPC 8 searching in IFIPAT, IFIUIDB, and IFICDB  
NEWS 10 JAN 13 New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to  
INPADOC  
NEWS 11 JAN 17 Pre-1988 INPI data added to MARPAT  
NEWS 12 JAN 17 IPC 8 in the WPI family of databases including WPIFV  
  
NEWS EXPRESS JANUARY 03 CURRENT VERSION FOR WINDOWS IS V8.01,  
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.  
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT  
<http://download.cas.org/express/v8.0-Discover/>  
  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
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Enter NEWS followed by the item number or name to see news on that  
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 07:01:16 ON 23 JAN 2006

=> file registry

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 07:01:23 ON 23 JAN 2006

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 JAN 2006 HIGHEST RN 872400-03-8  
DICTIONARY FILE UPDATES: 20 JAN 2006 HIGHEST RN 872400-03-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

```
*****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005. A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*
*****
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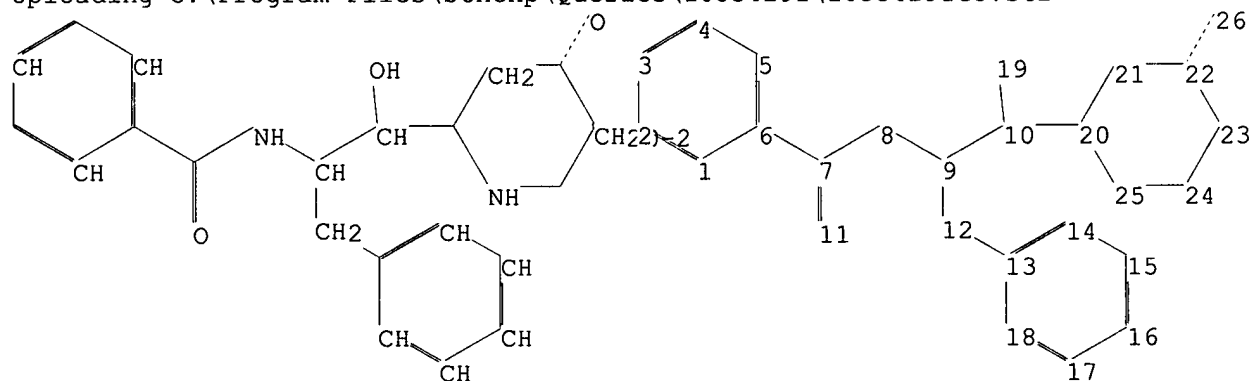
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10534291\10534291G3.str



chain nodes :

7 8 9 10 11 12 19 26

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18 20 21 22 23 24 25

chain bonds :

6-7 7-8 7-11 8-9 9-10 9-12 10-19 10-20 12-13 22-26

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 20-21  
20-25 21-22 22-23 23-24 24-25

exact/norm bonds :

7-8 7-11 8-9 10-19 20-21 20-25 21-22 22-23 22-26 23-24 24-25

exact bonds :

6-7 9-10 9-12 10-20 12-13

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

Match level :

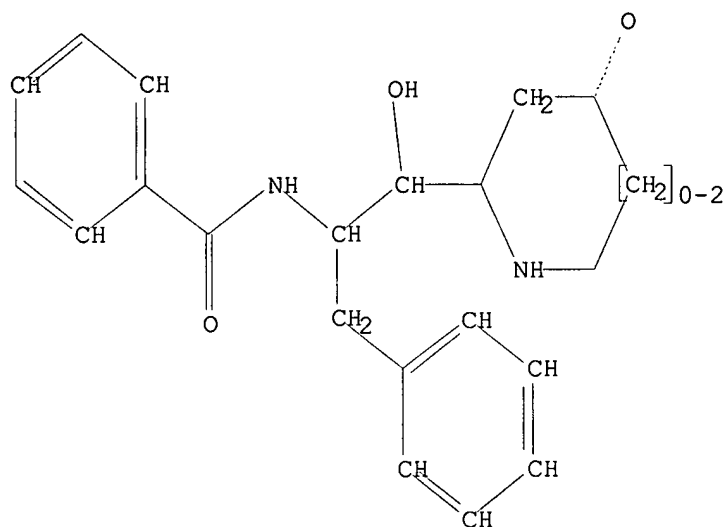
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS  
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 07:01:54 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 10 TO ITERATE

100.0% PROCESSED 10 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 11 TO 389

PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 07:02:03 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 132 TO ITERATE

100.0% PROCESSED 132 ITERATIONS

15 ANSWERS

SEARCH TIME: 00.00.01

L3 15 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

167.15

FILE 'CAPLUS' ENTERED AT 07:02:10 ON 23 JAN 2006

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FILE COVERS 1907 - 23 Jan 2006 VOL 144 ISS 5

FILE LAST UPDATED: 22 Jan 2006 (20060122/ED)

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=> s 13

L4 1 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS ON STN  
ACCESSION NUMBER: 2004:428903 CAPLUS  
DOCUMENT NUMBER: 141:6920  
TITLE: Preparation of phenylcarboxamide derivatives as  
β-secretase inhibitors for the treatment of  
Alzheimer's disease  
INVENTOR(S): Coburn, Craig A.; Stachel, Shawn J.; Vacca, Joseph P.  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
SOURCE: PCT Int. Appl., 65 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043916	A1	20040527	WO 2003-US35316	20031106
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,				
TG				
CA 2505098	AA	20040527	CA 2003-2505098	20031106
EP 1562897	A1	20050817	EP 2003-768700	20031106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:				
US 2002-425555P P 20021112				
US 2002-425560P P 20021112				
WO 2003-US35316 W 20031106				

OTHER SOURCE(S): MARPAT 141:6920  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

---Logging off of STN---

END

AB The title compds. I [R2 = R4-S(O)m-NR5-, R4-S(O)m-, R4NHCO-, R4CONH-, R4R5N-, CN, halo, etc.; R4, R5 = H, Cl-C6alkyl, Ph or benzyl; R6a, R6b, R6c = H, halo, -OR5, -SR5 or Cl-C6alkyl; X1 = H; X2 = OH, or X1, X2 = oxo; Z = CO, CH-OH, CH-F, or ethylene ketal; n = 1-4; m = 0-2] were prepared as β-secretase inhibitors for the treatment or prevention of diseases, such as Alzheimer's disease. For example, compound II was prepared from di-Me

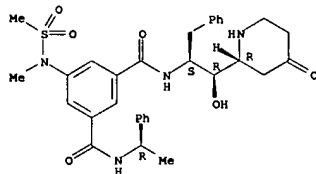
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)  
(Uses)  
(prepn. of phenylcarboxamide derivs. as β-secretase inhibitors for the treatment of Alzheimer's disease)

RN 695215-61-3 CAPLUS  
CN 1,3-Benzenedicarboxamide, N-[(1S,2R)-2-hydroxy-2-[(2R)-4-oxo-2-piperidinyl]-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-N'-[(1R)-1-phenylethyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 695215-60-2  
CMF C32 H38 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 695215-63-5 CAPLUS  
CN Benzamide, N-[(1S,2R)-2-hydroxy-2-[(2R)-4-oxo-2-piperidinyl]-1-(phenylmethyl)ethyl]-3-[(2-methylcyclopropyl)methoxy]-5-[methyl(methylsulfonyl)amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

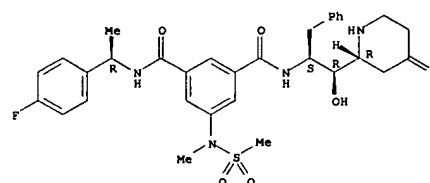
CM 1

CRN 695215-62-4  
CMF C28 H37 N3 O6 S

Absolute stereochemistry.

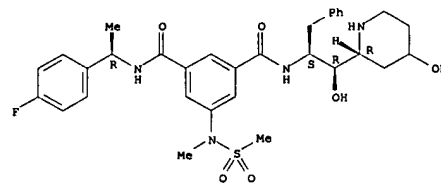
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)  
5-aminoisophthalate in a multi-step synthesis. The compds. of the invention exhibited inhibiting activity against β-secretase with an IC50 from about 1nM to 1 μM.  
IT 695215-64-6P 695215-65-7P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of phenylcarboxamide derivs. as β-secretase inhibitors for the treatment of Alzheimer's disease)  
RN 695215-64-6 CAPLUS  
CN 1,3-Benzenedicarboxamide, N-[(1R)-1-(4-fluorophenyl)ethyl]-N'-[(1S,2R)-2-hydroxy-2-[(2R)-4-oxo-2-piperidinyl]-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 695215-65-7 CAPLUS  
CN 1,3-Benzenedicarboxamide, N-[(1R)-1-(4-fluorophenyl)ethyl]-N'-[(1S,2R)-2-hydroxy-2-[(2R)-4-hydroxy-2-piperidinyl]-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

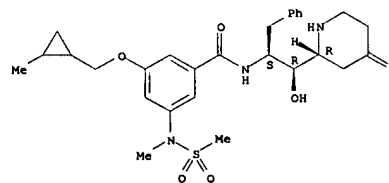
Absolute stereochemistry.



IT 695215-61-3P 695215-63-5P 695215-70-4P  
695215-71-5P 695215-80-6P 695215-81-7P  
695215-83-9P 695215-88-4P 695215-90-8P  
695215-91-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

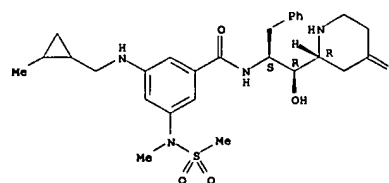


RN 695215-70-4 CAPLUS  
CN Benzamide, N-[(1S,2R)-2-hydroxy-2-[(2R)-4-oxo-2-piperidinyl]-1-(phenylmethyl)ethyl]-3-[(2-methylcyclopropyl)methoxy]-5-[methyl(methylsulfonyl)amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 695215-69-1  
CMF C28 H38 N4 O5 S

Absolute stereochemistry.



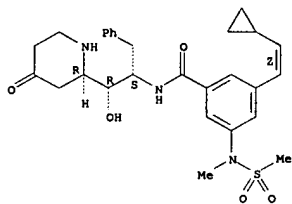
CM 2

CRN 76-05-1  
 CNF C2 H F3 O2

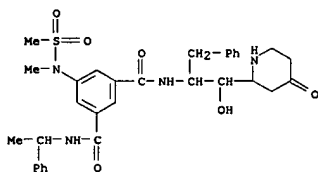


RN 695215-71-5 CAPLUS  
 CN Benzamide, 3-[(12)-2-cyclopropylethenyl]-N-[(1S,2R)-2-hydroxy-2-[(2R)-4-oxo-2-piperidinyl]-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

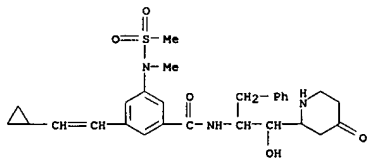
Absolute stereochemistry.  
 Double bond geometry as shown.



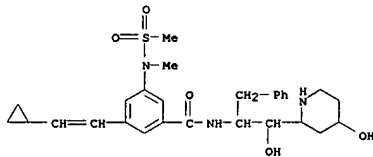
RN 695215-80-6 CAPLUS  
 CN 1,3-Benzenedicarboxamide, N-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-N'-(1-phenylethyl)- (9CI) (CA INDEX NAME)



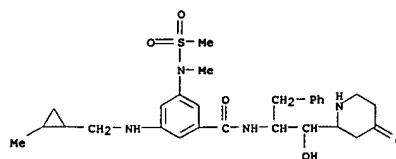
RN 695215-81-7 CAPLUS  
 CN Benzamide, N-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-3-[(2-methylcyclopropyl)methyl]amino]-5-[methyl(methylsulfonyl)amino]-



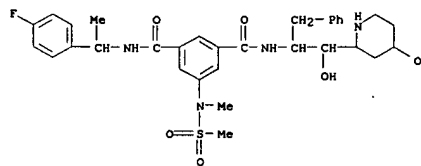
RN 695215-91-9 CAPLUS  
 CN Benzamide, 3-(2-cyclopropylethenyl)-N-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



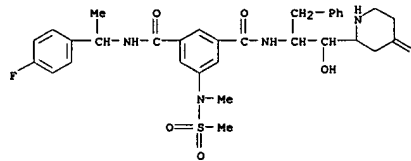
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT



RN 695215-83-9 CAPLUS  
 CN 1,3-Benzenedicarboxamide, N-[1-(4-fluorophenyl)ethyl]-N'-[2-hydroxy-2-(4-hydroxy-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 695215-88-4 CAPLUS  
 CN 1,3-Benzenedicarboxamide, N-[1-(4-fluorophenyl)ethyl]-N'-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 695215-90-8 CAPLUS  
 CN Benzamide, 3-(2-cyclopropylethenyl)-N-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

10/534,291 EAST search Class 546/242; 514/335

US 5506243 A      USPAT 19960409      5      Sulfonamide derivatives      514/345514/231.5; 514/238.2; 514/245; 514/247;  
514/249;  
514/252.1; 514/266.3; 514/269; 514/299; 514/308; 514/312; 514/335; 514/366; 514/369; 514/372; 514/376; 514/380; 514/381; 514/384; 514/398;  
514/407; 514/424; 514/443; 514/445; 514/452; 514/456; 514/460; 514/463; 514/470; 514/473; 514/604; 544/106; 544/228; 544/239; 544/253;  
544/257; 544/265; 544/298; 544/315; 544/316; 544/319; 544/384; 544/408; 544/59; 546/138; 546/153; 546/242; 546/243; 546/293; 548/183;  
548/213; 548/228; 548/251; 548/263.2; 548/307.1; 548/361.5; 548/470; 548/482; 548/484; 548/486; 549/14; 549/399; 549/416; 549/430;  
549/466; 549/474